L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 27 Aug 2004

ED GI

Appl

AB A process for making mycophenolate mofetil (I) comprising: conducting a catalytic transesterification by reacting a low-carbon alkyl ester of mycophenolic acid (II; R = Me, Et, Pr, Bu) with 2-

ΙI

morpholinoethanol [4-(2-hydroxyethyl)morpholine] to obtain a crude product of mycophenolate mofetil, which is then isolated and purified.

ACCESSION NUMBER:

2004:701805 HCAPLUS

DOCUMENT NUMBER:

141:225522

TITLE:

Process for making mycophenolate mofetil by

transesterification.

INVENTOR(S):

Lee, Kwang-chung; Lin, Shu-chuan; Chiu, Ray-hwa

PATENT ASSIGNEE(S):

Taiwan

SOURCE:

U.S. Pat. Appl. Publ., 3 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO	DATE				
	US 2004167130	A1	20040826	US 2003-750466	20031229				
	TW 221414	B1	20041001	TW 2003-92103728	20030221				
PRIOR	RITY APPLN. INFO.:			TW 2003-92103728 A	20030221				
OTHER SOURCE(S): CASREACT 141:225522; MARPAT 141:225522									
IT 31858-66-9, Methyl mycophenolate 32483-51-5, Ethyl									
mycophenolate 40336-78-5 745067-13-4									
RL: RCT (Reactant); RACT (Reactant or reagent)									
(process for preparation of mycophenolate mofetil by transesterification of									
mycophenolic acid esters with morpholinoethanol)									
RN	31858-66-9 HCAPLUS		•						
CN	CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-								

isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

RN 32483-51-5 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 40336-78-5 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, butyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 745067-13-4 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, propyl ester, (4E)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 15 Feb 1995

ED GI

AB The disclosed derivs. of mycophenolic acid I (R7 = lower alkyl; R10 = OSO2CF3, CN, CO2H, NCO) are therapeutic agents (no data) advantageous in the treatment of disease states indicated for mycophenolic acid and/or mycophenolate mofetil and other immunosuppressant agents. Pharmaceutical formulations were given.

Ι

ACCESSION NUMBER: 1995:354681 HCAPLUS

DOCUMENT NUMBER: 122:265175

TITLE: Derivatives of mycophenolic acid

INVENTOR(S): Sjogren, Eric B.

PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA

SOURCE: U.S., 31 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

						APPLICATION NO.												
US	US 5380879			A 19950110			US 1994-198817					19940218						
US	US 5441953		Α	A 19950815			US 1994-311666					19940923						
CA	CA 2183529			AA	AA 19950824			CA 1995-2183529					19950216					
									WO 1995-US1784									
							BR,											
							KG,											
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	1143						1997											
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JP	JP 09509171 T2 199709			0916	JP 1995-521865						19950216							
IL	1126	64			A1	A1 19990620 IL 1995-112664 199502												
AT	2114	67							216									
PT	7450	74	4 T 20020628 PT 1995-911697				19950216											
ES	2170	141			Т3													
	9603				Α		1996											
PRIORIT	Y APP	LN.									994-				A3 1			
		•								WO 1	995-	US17	84	1	W 1:	9950	216	

OTHER SOURCE(S): MARPAT 122:265175

IT 31858-66-9P 162638-64-4P 162638-65-5P 162638-67-7P 162638-68-8P 162638-82-6P 162638-84-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(mycophenolic acid derivs.)

RN 31858-66-9 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-64-4 HCAPLUS

CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[[(trifluoromethyl)sulfonyl]oxy]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-65-5 HCAPLUS

CN 4-Hexenoic acid, 6-(4-cyano-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-67-7 HCAPLUS

CN 4-Isobenzofurancarboxylic acid, 1,3-dihydro-6-methoxy-5-(6-methoxy-3-methyl-6-oxo-2-hexenyl)-7-methyl-3-oxo-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-68-8 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-isocyanato-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-82-6 HCAPLUS

CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-4-[(methoxycarbonyl)amino]-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-84-8 HCAPLUS

CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[(methylsulfonyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

IT 162638-70-2P 162638-72-4P 162638-74-6P 162638-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(mycophenolic acid derivs.)

RN 162638-70-2 HCAPLUS

CN 4-Hexenoic acid, 6-(4-amino-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-72-4 HCAPLUS

CN 4-Hexenoic acid, 6-[4-[[(dimethylamino)carbonyl]amino]-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-74-6 HCAPLUS

CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-3-oxo-4-[(trifluoroacetyl)amino]-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)-

(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-79-1 HCAPLUS

CN 4-Hexenoic acid, 6-[1,3-dihydro-6-methoxy-7-methyl-4-[methyl(trifluoroacetyl)amino]-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 162638-75-7P 162638-76-8P

RN 162638-75-7 HCAPLUS

CN 4-Hexenoic acid, 6-[4-(acetylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162638-76-8 HCAPLUS

CN 4-Hexenoic acid, 6-[4-(formylamino)-1,3-dihydro-6-methoxy-7-methyl-3-oxo-5-

isobenzofuranyl]-4-methyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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FILE 'REGISTRY' ENTERED AT 15:42:58 ON 02 NOV 2005

STRUCTURE UPLOADED

L2 17 S L1

L3 274 S L1 FULL

L4 0 S L3 AND CATALYST

FILE 'HCAPLUS' ENTERED AT 15:46:21 ON 02 NOV 2005

L5 107 S 2-MORPHOLINOETHANOL

L6 82 S L3

L7 2 S L5 AND L6

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704166 CATALYST

L8 3 L6 AND CATALYST

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L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 27 Aug 2004

ED GI

AB A process for making mycophenolate mofetil (I) comprising: conducting a catalytic transesterification by reacting a low-carbon alkyl ester of mycophenolic acid (II; R = Me, Et, Pr, Bu) with 2-morpholinoethanol [4-(2-hydroxyethyl)morpholine] to obtain a crude product of mycophenolate mofetil, which is then isolated and purified.

II

Ι

ACCESSION NUMBER: 2004:701805 HCAPLUS

DOCUMENT NUMBER: 141:225522

TITLE: Process for making mycophenolate mofetil by

transesterification

INVENTOR(S): Lee, Kwang-chung; Lin, Shu-chuan; Chiu, Ray-hwa

PATENT ASSIGNEE(S): Taiwan

SOURCE: U.S. Pat. Appl. Publ., 3 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004167130	A1	20040826	US 2003-750466		20031229
TW 221414	B1	20041001	TW 2003-92103728		20030221
PRIORITY APPLN. INFO.:			TW 2003-92103728	Α	20030221
OTHER SOURCE(S):	CASRE	ACT 141:2255	22; MARPAT 141:225522		

IT 31858-66-9, Methyl mycophenolate 32483-51-5, Ethyl

mycophenolate 40336-78-5 745067-13-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for preparation of mycophenolate mofetil by transesterification of mycophenolic acid esters with morpholinoethanol)

RN 31858-66-9 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

RN 32483-51-5 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, ethyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 40336-78-5 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, butyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 745067-13-4 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-, propyl ester, (4E)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 28 Aug 2001

ED GI

AB Syntheses of mycophenolic acid (MPA) I/(R1 = R2 = R3 = H, R4 = Me) (II) and its analogs were carried out using palladium-catalyzed Heck carbonylation and olefination. Thus, the reaction of 2-bromo-3,5-dimethoxybenzyl alc. in toluene under carbon monoxide at 180°C in the presence of palladium catalyst using sodium carbonate as a base gave 5,7-dimethoxyphthalide in 88% yield. The phthalide was then converted to 6-iodo-5,7-dimethoxy-4-methylphthalide. Reaction of this aromatic iodide with isoprene and di-Me malonate in the presence of palladium(0) catalyst gave the three component coupling product I (R1 = R2 = Me, R3 = CO2Me, R4 = Me), which was converted into II in three steps. 4-NorMPA I (R1 = R2 = R3 = R4 = H) and 4-homo-MPA I (R 1 = R2 = R3 = H, R4 = Et) were synthesized similarly.

ACCESSION NUMBER: 2001:620584 HCAPLUS

DOCUMENT NUMBER: 135:331285

TITLE: Syntheses of mycophenolic acid and its analogs by

palladium methodology

AUTHOR(S): Lee, Youngmin; Fujiwara, Yasunari; Ujita, Katsuji;

Nagatomo, Miki; Ohta, Hiroshi; Shimizu, Isao

CORPORATE SOURCE: Department of Applied Chemistry, Waseda University,

Tokyo, 169-8555, Japan

SOURCE: Bulletin of the Chemical Society of Japan (2001),

74(8), 1437-1443

CODEN: BCSJA8; ISSN: 0009-2673

PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:331285
IT 60435-90-7P 308272-03-9P 370573-32-3P
370573-34-5P 370573-42-5P 370573-44-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of mycophenolic acid and analogs via palladium-catalyzed coupling of malonate and isoprene with iodophthalide derivs.)

RN 60435-90-7 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4,6-dimethoxy-7-methyl-3-oxo-5-

isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

RN 308272-03-9 HCAPLUS

CN Propanedioic acid, [(2E)-4-(1,3-dihydro-4,6-dimethoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2-methyl-2-butenyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 370573-32-3 HCAPLUS

CN Propanedioic acid, [(2E)-4-(1,3-dihydro-4,6-dimethoxy-3-oxo-5-isobenzofuranyl)-2-methyl-2-butenyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 370573-34-5 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4,6-dimethoxy-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

RN 370573-42-5 HCAPLUS

CN Propanedioic acid, [(2E)-4-(7-ethyl-1,3-dihydro-4,6-dimethoxy-3-oxo-5-isobenzofuranyl)-2-methyl-2-butenyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 370573-44-7 HCAPLUS

CN 4-Hexenoic acid, 6-(7-ethyl-1,3-dihydro-4,6-dimethoxy-3-oxo-5-isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 05 Oct 2000

ED GI

AB Reaction of aromatic iodide (I) with isoprene and di-Me malonate in the

presence of palladium(0) catalyst gave the coupling product [II;

R1R2 = Me, R3 = CO2Me (III)] which was converted into mycophenolic acid II [R1-R3 = H, (IV)] in three steps.

ACCESSION NUMBER: 2000:700736 HCAPLUS

DOCUMENT NUMBER: 134:4794

TITLE: Synthesis of (mycophenolic acid by palladium-catalyzed

three component coupling reaction ~

AUTHOR(S): Shimizu, Isao; Lee, Youngmin; Fujiwara, Yasunari

CORPORATE SOURCE: Department of Applied Chemistry, Waseda University,

Tokyo, 169-8555, Japan

SOURCE: Synlett (2000), (9), 1285-1286

CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:4794

IT 60435-90-7P 308272-03-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of mycophenolic acid by palladium-catalyzed three component

coupling reaction)

RN 60435-90-7 HCAPLUS

CN 4-Hexenoic acid, 6-(1,3-dihydro-4,6-dimethoxy-7-methyl-3-oxo-5-

isobenzofuranyl)-4-methyl-, methyl ester, (4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 308272-03-9 HCAPLUS

CN Propanedioic acid, [(2E)-4-(1,3-dihydro-4,6-dimethoxy-7-methyl-3-oxo-5-isobenzofuranyl)-2-methyl-2-butenyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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